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COSMETIC OR DERMOPHARMACEUTICAL PATCH IN CONTAINING AN ANHYDROUS POLYMERIC DIES AT LEAST ONE ACTIVE COMPONENT, ESPECIALLY UNSTABLE INOXIDATIVE MEDIA, AND AT LEAST ONE WATER ABSORBING AGENT

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CA Patent # CA2186042

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Abstract

Abstract not available for CA2186042 Abstract of corresponding document: EP0764441 Cosmetic and pharmaceutical skin patches which may be self-adhesive or non-adhesive for application to the skin comprises (a) a compact and anhydrous reservoir layer of a (i) hydrophobic polymeric matrix in which particles of (ii) active material are homogeneously dispersed, this active material opt. being unstable in an oxidising medium together with (iii) particles of at least one water-absorbent material, this reservoir layer being fixed to (b) a support layer.

Title Information

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INPADOC patent family

- 1 COSMETIC OR DERMOPHARMACEUTICAL PATCH IN CONTAINING AN ANHYDROUS POLYMERIC DIES AT LEAST ONE ACTIVE COMPONENT, ESPECIALLY UNSTABLE IN OXIDATIVE MEDIA, AND AT LEAST ONE WATER ABSORBING AGENT
Inventor: GUERET JEAN-LOUIS (FR) **Applicant:** OREAL (FR) (BR)
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- 2 Cosmetic or dermopharmaceutical patch containing at least one active agent, particularly an unstable one in an oxidative medium, and at least one hydroabsorbent in an anhydrous polymeric matrix
Inventor: GUERET JEAN-LOUIS (FR) **Applicant:** OREAL (FR) (BR)
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- 3 Cosmetic or dermopharmaceutical patch containing at least one active agent, particularly an unstable one in an oxidative medium, and at least one hydroabsorbent in an anhydrous polymeric matrix
Inventor: GUERET JEAN-LOUIS (FR) **Applicant:** OREAL (FR) (BR)
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- 4 Cosmetic or dermopharmaceutical patch containing at least one active agent, particularly an unstable one in an oxidative medium, and at least one hydroabsorbent in an anhydrous polymeric matrix
Inventor: GUERET JEAN-LOUIS (FR) **Applicant:** OREAL (FR) (BR)
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Publication info: EP0764441 A1 - 1997-03-26 EP0764441 B1 - 2001-04-04
- 5 Cosmetic or dermopharmaceutical patch containing at least one active agent, particularly an unstable one in an oxidative medium, and at least one hydroabsorbent in an anhydrous polymeric matrix
Inventor: GUERET JEAN-LOUIS (FR) **Applicant:** OREAL (BR)
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- ## List of citing documents

4

Claims

CPI: A61K8/02; A61K8/64; A61K8/65 (36)

ADHESIVE TAPE FOR COSMETIC MEDICINE OR DERMATOLOGICAL

Applicant: OREAL (BR)

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- Inventor:** GUERET JEAN-LOUIS H (FR)

Applicant: OREAL (FR) (BR)

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List of citing documents

Claims

1. Patch cosmetic or skin-pharmaceuticals for controlled release of at least one compound cosmétiquement or skin pharmaceutically active on the skin, with a layer tank attached to a layer support, characterized by the fact that the reservoir layer consists of a hydrophobic polymer matrix in which are scattered evenly particles active compound, possibly unstable environment oxidant, and particles of at least one agent hydro-absorbent layer that reservoir being compact and anhydrous.
2. Patch according to claim 1, characterized by the fact that the hydrophobic polymer matrix is based on a silicone polymer or a polyurethane.
3. Patch according to claim 1 or 2, characterized by the fact that it is self-adhesive.
4. Patch according to claim 1 or 2, characterized by the fact that it is non-adhesive.
5. Patch according to any claim by the fact that the matrix polymer-based silicone polymer is obtained by cross-linking of a linear organopolysiloxane substituted on the silicon atom by groups selected from a group alkyl C1 C6, or aryl ar (alkyl C1-C2), the silicon atoms terminals being trisubstitué.
6. Patch according to claim 5, characterized by the fact that the organopolysiloxane for a general formula:

EMI14.1

where:

R is an alkyl group or alkoxy containing 1 to 7 carbon atoms, a group vinyl or phenyl, and where n is between about 100 and 5,000.

7. Patch according to claim 1 to 4, characterized by the fact that the polymer matrix based on a polyurethane is obtained by polyaddition of a polyester polyol or a polyether polyol in the presence of an isocyanate or polyisocyanate selected from toluene diisocyanate, diphenylmethane-4, 4' diisocyanate, naphthalene-1, 5 diisocyanate and isophorone diisocyanate.
8. Patch according to any claim by the fact that the compound cosmétiquement or dermopharmaceutiquement active, chosen from vitamin C, vitamin A, vitamin E, enzymes and antibiotics.
9. Patch according to any claim by the fact that the hydro-absorbing agent is chosen from among the cross-linked polyacrylates superabsorbent, polyvinyl alcohol, polymers carboxyvinylques, semi-synthetic derivative of cellulose, starches, guar gum, Arabian or adragante, casein, phytocolloïdes, cotton fibre and gelatin.
10. Patch according to claim 1 to 8, characterized by the fact that the agent is hydro-absorbent particles in the form of a freeze-dried powder emulsions or atomisées possibly containing at least one active substance.
11. Patch according to any claim by the fact that the active compound is present in the reservoir layer in a proportion of between about 0.2 and 48% by weight in relation to the total weight of that layer.

It has also been described and demand EP 196,769 a transdermal patch including a support layer, a layer reservoir consists of a solid polymer matrix in the form of a disc which is dispersed an appropriate quantity of a pharmaceutical compound and which is applied an adhesive layer, the latter containing an effective amount of at least one agent that increases transdermal of pharmaceutical compound.

This type of patch, it allows greater availability of pharmaceutically active compound, however, is delicate because the realization compound in the adhesive layer to help increase transdermal, must be chosen depending on the nature of the active compound and this compound that comes in contact with the skin, must be such that it causes no allergic reaction.

This invention makes it possible to remedy the disadvantages encountered in the state of the art when the active compound is particularly unstable in the oxidant.

Indeed, there was a quite surprising and unexpected that dispersing evenly in a matrix hydrophobic specific, at least one compound or cosmétiquement dermo pharmaceutically-active, especially in unstable environment oxidant, with some agents hydro-absorbent, it was possible to obtain a patch with a layer anhydrous tank, but can nevertheless an excellent controlled release of the active compound without any degradation of the latter.

It has indeed proved that after removing the detachable layer of protection, the patch could be applied directly onto the surface of skin to deal with it is absolutely necessary to achieve the desired effect, is to moisten Part of the skin to treat either the patch itself.

The support layer being at least partially occlusive cause on the part of the skin where the patch was applied, a condensation of perspiration and thus moisten adequately for the release of the active compound through particles officer hydro-absorbent who are dispersed.

Indeed, in contact with moisture from the skin (or possibly in the presence of water applied to the skin or shell layer) particles officer hydro-absorbent react and then gradually release the particles of active compound.

Thus, the present invention relates to a cosmetic or skin patch-pharmaceutical for the controlled release of at least one compound cosmétiquement or skin pharmaceutically active on the skin with a layer tank attached to a support layer, the layer reservoir being formed a hydrophobic polymer matrix in which are evenly dispersed particles at least one active compound, possibly unstable environment oxidant, and particles of at least one agent hydro-absorbent layer that reservoir being compact and anhydrous.

The term "compact" means according to the invention, the reservoir layer is in the form of a dense mass therefore does not interstices cellular or cellular unlike the reservoir layer as demand EP 190,814 which is presented in form of a polyurethane foam or more specifically polyurethane foam.

The particles of the active compound and the hydro-absorbing agent being dispersed to the state and form a homogeneous layer tank, at least part of those particles happen to be present at the surface layer of the reservoir from the direct contact the skin so that after removing the detachable layer of protection, an action Liberation active compound particularly effective and expeditious which could not be obtained according to the state of the art.

In patches according to this invention, the matrix polymer is hydrophobic for example using a silicone polymer or a polyurethane-type polyester polyurethane or polyether polyurethane.

When the polymer matrix is based on a polymer of silicon, silicon prepolymers is preferably chosen among organopolysiloxanes linear substituted on the silicon atom by various groups, the silicon atoms terminals being trisubstitué. Such organopolysiloxanes are described in patents including U.S.-2541137, 2723966, 2863846, 2890188, 2927907, 3002951 and 3035016.

It prefers especially as prepolymers silicone polydiméthylsiloxanes the formula:

EMI5.1

where:

R is an alkyl group or alkoxy containing 1 to 7 carbon atoms, a group vinyl or phenyl, and where n is between about 100 and 5,000.

The prepolymers silicone used is réticulable preferably at moderate temperatures such as room temperature, using a catalyst for curing biologically acceptable in the resulting polymer matrix and is compatible with the active compound dispersed in the latter.

By cross-linking catalyst, means, according to this invention, the association of curing agent and a catalyst.

When the prepolymers silicone contains hydroxy groups, such as hydroxy groups terminals, one may cite as an agent of reticulation, tétrapropoxysilane $[\text{Si}(\text{O}-\text{CH}_2-\text{CH}_2-\text{CH}_3)_4]$ in association with a catalyst based d'in.

When the silicone prepolymers include vinyl groups, we can réticuler the latter in the presence of a polymer-dimethyl silicone in association with a catalyst such as a catalyst platinum-based.

Among the prepolymers silicone particularly preferred according to the invention, are those known by the names of SILASTIC 382 TM, Q7-4635 TM, Q7-4650 TM, Q7-4735 TM, Q7-4750 TM, Q7-4765 TM, MDX 4-4210 TM and DC 3.6486 TM marketed by the Company DOW CORNING.

When the polymer matrix is based on a polyurethane, it is obtained from a prepolymers type polyester polyol-or polyether polyol-known in the state of the art. Among the-polyester polyols are those obtained by reaction of alcohol or bi-trifonctionnels on acids such as adipic acid, terephthalic acid and more generally, all other multifunctional acids. Among the-polyether polyols are those obtained by alcoylation by reacting diols such as ethylene glycol or propylene glycol or polyols such as triméthylolpropane, glycerol, penta, sorbitol, with oxides such as ethylene

oxide, propylene oxide, or their mixture.

The officer polyaddition for the formation of polyurethanes or isocyanate is a polyisocyanate, including toluene diisocyanate, diphenylmethane-4, 4' diisocyanate, naphthalene-1, 5 diisocyanate, or isophorone diisocyanate.

The catalyst for curing or officer polyaddition is preferably used in a quantity such as curing or polyaddition is not complete, this so that the reservoir layer presents itself a self-adhesive satisfactory and advantageously prevent layer support is later coated with an adhesive layer.

It should be noted, however, that patches according to the invention may not necessarily adhere to the skin surface.

Indeed, depending on the time of application, they can be kept in place by the topic, or used to massage a specific area of skin to treat.

In patches according to this invention, the compound cosmétique or skin pharmaceutically active, perhaps for example, vitamin C, vitamin A and retinol, vitamin E (essential fatty acids), enzymes, antibiotics such as clindamycin phosphate.

Among the hydro-absorbing agents may be present in the polymer matrix hydrophobic dispersed to the state, one can cite, preferably, cross-linked polyacrylates superabsorbent high rate of swelling in water, such as those marketed by the Company under NORSOLOR the name "Aquakeep"™; polyvinyl alcohol; carboxyvinyl polymers such as those marketed by the Company GOODRICH under the names "Carbopol"™, the semi-synthetic derivative of cellulose such as carboxymethylcellulose; natural substances such as starches, natural rubber (guar gum, gum arabic, tragacanth), casein, phytocolloides (carragénates, alginate, agar-agar), cotton fibre and gelatin.

It prefers to use especially by the invention polyacrylates réticulés superabsorbent whose presence in the state dispersed in the polymer matrix promotes hydrophobic, after hydration, a better contact with the particles of active compound.

The hydro-absorbing agent may also be using a form of achievement particular particle powder emulsions or lyophilized atomisées, these particles can contain at least one active substance.

Preferably, the compound cosmétique or skin pharmaceutically active is now in a proportion of between about 0.2 and 48% by weight and hydro-absorbing agent in a proportion of between about 0.1 and 30% by weight, preferably between 0.5 and 10%, compared to the total weight of the reservoir layer provided that the proportion of the mixture of active compound and the hydro-absorbing agent is understood preferably between about 15 and 60% by weight in relation to the total weight of the reservoir layer.

The compound cosmétique or skin pharmaceutically active, as well as hydro-absorbing agent, were present at the state dispersed in the polymer matrix in the form of particles sized between about 0.2 μ m and 1.5 mm. Those particles are dispersed evenly and randomness in the polymer matrix, constituting the reservoir layer.

As a result of this random dispersion in the polymer matrix, patches according to this invention can be incorporated in the state dispersed in the polymer matrix, different active compounds not only unstable in themselves but also mutually incompatible.

This form of execution is particularly advantageous when at least two active compounds incompatible between them are scattered within the polymer matrix but present at their liberation, skin contact, a synergistic effect.

Such is the case, for example, when dispersed in the matrix polymer particles of vitamin C combined with particles of enzymes.

In addition, the polymer matrix may also contain ingredients, cosmetics or skin-pharmaceutical additional oils such as emollient, components such as tightening effect of powdered soy protein or wheat.

In addition, the polymer matrix can advantageously contain an agent effervescent as bicarbonate or sodium carbonate to promote effervescence by the action of active compound released from the reservoir layer.

Finally, in order to strengthen resistance to the extension of the polymer matrix, the reservoir layer may include a frame, for example consists of a sheet of a perforated plastic material, a sheet of a non-woven perforated or a net, non-woven or the net is made of natural or synthetic fibers such as nylon as described in the patent french n DEG 92 05623 (FR-A-2620914).

The layer support or occlusive patch according to the present invention may consist of any suitable material impermeable to the active compound contained in the tank adjacent layer.

The layer support not only function is to support the reservoir layer but also serve as a protective coating thereof.

It may be the same size as the reservoir layer or larger so that it extends beyond the periphery of the reservoir layer and outwards, so that the surface layer that surrounds reservoir could possibly receive means adhesives.

Among the materials suitable for the layer support, we can cite polyethylene films high and low density, polypropylene films, polyvinyl chloride, polyester polyphthalate such as ethylene, ethylene copolymers of vinyl acetate and polyurethane.

These materials can also be present in the form of laminate with at least a piece of metal such as aluminium foil. The layer support can be of any appropriate thickness which will serve as support and protection required. Preferably, the thickness of the layer support is between about 0.2 and 1.5 mm.

The patch according to this invention can be protected by the presence of a detachable or peel-off layer protective layer adjacent to the reservoir and / or packaged in a suitable packaging.

including impermeable to water and water vapor .

When the reservoir layer is protected by a layer of protection detachable, it is removed at the time of use. It can be incorporated in any material impervious to the active compound and to any other component in the polymer matrix. Among the materials may be used, are preferably a sheet of paper or a silicone sheet of thermoplastic material processed to make anti-adherent, for example with a varnish. Preferably, this detachable protective layer is made of polyethylene.

As a known patches according to this invention can be cut according to a contour appropriate for the surface area of skin to treat, such as a mask for application to the face, especially for application to the contours eyes on the bags under the eyes, forehead, nose (nose guard solar). Of course, patches according to this invention can be cut in any other form required for an application on a specific area of the body.

The patches formed and cut may be used after removal of the detachable protective layer on a surface of skin to treat, by applying directly to a skin including water transpiration will secure the release of the desired compound cosmétique or skin-pharmaceutically active. They can also be pre-soaked in water for a time preferably between about 5 and 30 seconds, or be applied to the skin after previously wet for example with a sponge.

It has been found so surprising and unexpected that the only water transpiration of the skin allowed advantage to secure the release of the active compound on the surface of the skin to treat, from the polymer matrix containing the hydrophobic.

In patches according to this invention, the matrix polymer layer constituting the reservoir is prepared by mixing intimate stirring prepolymers of silicone or polyurethane compound cosmétique or skin pharmaceutically active agent and the hydro-absorbent, both under form of particles, as well as optional components mentioned above.

At the mixture, then adds a low temperature, usually at room temperature, is a catalyst for curing if the prepolymer is a silicone polymer, is a polyisocyanate isocyanate or if the prepolymer is a polyester polyol-or-polyether polyol .

The mixture is then fed into a hopper and placed on a sheet of polyethylene for example, constituting the laminated detachable or peel-off patch. Downstream of the hopper is ready a blade to equalize the thickness of the shell layer of polymer matrix, which is generally between 0.1 mm and 12 mm.

It then applies a piece of fabric as defined above, from a roll, then before calendering, a sheet of the layer support or occlusive disease that can also be a sheet of polyethylene itself from a roller.

The polymerization or polyaddition is preferably carried out at room temperature this in order not to deteriorate or the active compounds.

After calendering and before polymerisation or polyaddition is complete, the composite structure can be obtained immediately cut the necessary forms, which produces edges pinched avoiding casting phenomenon.

Generally speaking, the polymerisation or polyaddition is complete after about 24 hours at room temperature.

This invention is also intended to use a patch as defined above, which is characterized by the fact that the patch is applied to dry and so occlusive over an area of skin to treat .

The patch according to this invention can get a very quick, after applying generally in the range of 5 to 10 minutes.

The following example to illustrate this invention.

EXAMPLE

A 8 g polyacrylate powder ("Aquateep" TM marketed by the Company NORSOLOR), we added 2 grams of sodium carbonate and 2 grams of vitamin C. On micronise then the desired size and then adds 43 g organopolysiloxane "DC3 6486" TM (marketed by the Company DOW CORNING). Under heckling from 1,500 rpm. Are added 1.7 g of its cross-linking catalyst "Medical Grade Curing Agent" and maintains the agitation for a few minutes.

The product is blended into a hopper and is spread with a blade in a layer 0.8 mm thick on a sheet of polyethylene with a thickness of 200 µm. This sheet may be pre-treated surface to reduce its grip. On the sheet of polyethylene and clothed, you apply a frame consisting of a nylon net or polyethylene with a mesh opening of 1 mm and a thickness of 0.3 mm.

It then applies a film of polyethylene (without anti-adhesion) of 30 µm thick layer which is the support or occlusive patch, and it proceeded to calendering of the whole. You get a whole with a layer support occlusive and a reservoir layer self-adhesive composed of a polymer matrix silicone partially reticulate, the package also includes a detachable layer of protection.

Starting from this set, can be achieved by cutting different forms depending patch uses desired.

The patch after cutting are then packed in polythene bags. When using the patch, after elimination of the detachable layer of protection is applied directly on the outline of an eye, for example during a period of 7 minutes. After removing the patch, there is visually that the contour of the eye treated with the patch containing vitamin C, provides a significantly clearer complexion, a smoother and more rested than the eye untreated.



[Previous Patent \(DELAY OPTICAL UNIT, OPTICAL LINE INC
EMULATOR . .\)](#)

[Next Patent \(METHOD APPARATUS AND MEDIUM FOR ALLOCATING
B. .\)](#)

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